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(54) Title: SPECIFIC SUBSTRATES FOR O⁶- ALKYLGUANINE-DNA ALKYLTRANSFERASE

The invention relates to substrates for O6-alkylguanine-DNA alkyltransferases (AGT) of formula R₁-A-X-CH₂-R₃-R₄-L₁, wherein A is a group recognized by AGT as a substrate, X is oxygen or sulfur, R₁ is a group -R₂-L₂ or a group R₅, R₂ and R₄ are, independently of each other, a linker, R₃ is an aromatic or a heteroaromatic group, or an optionally substituted unsaturated alkyl, cycloalkyl or heterocyclyl group with the double bond connected to CH2, R5 is arylmethyl or heteroarylmethyl or an optionally substituted cycloalkyl, cycloalkenyl or heterocyclyl group, L₁ is a label, a plurality of same or different labels, a bond connecting R4 to A forming a cyclic substrate, or a further group -R3 CH2-X-A-R1, and L2 is a label or a plurality of same or different labels. The invention further relates to methods of transferring a label from these substrates to O⁶-alkylguanine -DNA alkyltransferases (AGT) and AGT fusion proteins.